ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2006 ACS on STN

1983:422144 HCAPLUS Full-text ACCESSION NUMBER:

DOCUMENT NUMBER: 99:22144

TITLE: 1-Aryloxy-3-alkylamino-2-propanols

INVENTOR(S): Koeppe, Herbert; Kummer, Werner; Staehle, Helmut;

Muacevic, Gojko; Traunecker, Werner

PATENT ASSIGNEE(S): Boehringer Ingelheim K.-G., Fed. Rep. Ger.

SOURCE: Eur. Pat. Appl., 25 pp.

CODEN: EPXXDW

DOCUMENT TYPE:

Patent

LANGUAGE:

German

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
EP 73016 EP 73016	A1 B1	19830302 19851127	EP 1982-107536		19820818 <
R: AT, BE, CH,	DE, FR	, GB, IT, LI	. LU. NL. SE		
DE 3133678	A1		DE 1981-3133678		19810826
NO 8202220	A	19830228			
NO 152603	В	19850715			
NO 152603	С	19851023			
US 4442120	A	19840410	US 1982-398577		19820715
CA 1165324	A1	19840410	CA 1982-408021		19820726
AT 16700	E	19851215	AT 1982-107536		19820818
FI 8202912	A	19830227	FI 1982-2912		19820823
FI 75150	В	19880129			
FI 75150	С	19880509			
IL 66633	A1	19860228	IL 1982-66633		19820824
DK 8203804	A	19830227	DK 1982-3804		19820825
AU 8287718	A1	19830303	AU 1982-87718		19820825
AU 558338	В2	19870129			
JP 58059957	A2	19830409	JP 1982-147518		19820825
ES 515245	A1	19830801	ES 1982-515245		19820825
ZA 8206183	A	19840425	ZA 1982-6183		19820825
ES 520094	A1	19831201	ES 1983-520094		19830225
ES 520095	A1	19831201	ES 1983-520095		19830225
PRIORITY APPLN. INFO.:			DE 1981-3133678	Α	19810826
			EP 1982-107536	Α	19820818
OTHER SOURCE(S):	CASREA	CT 99:22144;	MARPAT 99:22144		

II

AB β -Sympatholytic (no data) phenoxypropanolamines I [R = cycloalkyl, (un) substituted Ph, aryloxyalkyl; R1 = H, halo, alkoxy; R2 = alkyl] were prepared Thus, 7 g 1-[2-cyano-4-[2-(3-methylphenoxy)acetamido]phenoxy]-2,3-epoxypropane was treated with Me3CNH2 to give 2.6 g II.

ANSWER 2 OF 2 WPIDS COPYRIGHT 2006 THE THOMSON CORP on STN

ACCESSION NUMBER: 1983-22969K [10] WPIDS <u>Full-text</u>

DOC. NO. CPI: C1983-022441

TITLE: 3-Aryloxy-2-hydroxy-N-alkyl-propylamine derivs. - useful

as cardio-selective beta blockers.

DERWENT CLASS: B05

INVENTOR(S): KOPPE, H; KUMMER, W; MUACEVIC, G; STAHLE, H; TRAUNECKER,

W

PATENT ASSIGNEE(S): (BOEH) BOEHRINGER INGELHEIM

COUNTRY COUNT: 23

PATENT INFORMATION:

PATENT NO	KI	ND DATE	WEEK	LA	PG
EP 73016 R: AT BE CH	A DE		(198310)* LI LU NL		25<
DE 3133678	Α	19830317	(198312)		
AU 8287718	Α	19830303	(198315)		
NO 8202220	Α	19830321	(198318)		
JP 58059957	Α	19830409	(198320)		
FI 8202912	Α	19830429	(198323)		
DK 8203804	Α	19830524	(198327)		
ES 8307723	Α	19831101	(198406)		
PT 75458	Α	19840223	(198412)		
US 4442120	Α	19840410	(198417)		
CA 1165324	Α	19840410	(198419)		
ES 8401454	Α	19840301	(198419)		
ES 8401455	Α	19840301	(198419)		
ZA 8206183	Α	19840227	(198426)		
EP 73016	В	19851127	(198548)	GE	<
R: AT BE CH	DE	FR GB IT	LU NL SE		
DE 3267692	G	19860109	(198603)		
IL 66633	Α	19860228	(198623)		
KR 8900620	В	19890322	(198941)		

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
US 4442120	A	US 1982-398577	19820715
ZA 8206183	A	ZA 1982-6183	19820825

PRIORITY APPLN. INFO: DE 1981-3133678 19810826 AB EP 73016 A UPAB: 19930925

2-Hydroxypropylamine derivs. of formula (I) and their acid-addition salts are new: (where R1 is (a) 3-10C cycloalkyl, (b) phenyl opt. mono- or poly-substd. by halogen, lower alkyl, alkoxy, alkenyl, alkynyl, alkynyloxy, cycloalkyl, acyl, acyloxy, alkoxycarbonyl, hydroxyalkyl or alkoxyalkyl, or by an ortho-linked ring-forming gp. (CH=CH)2 or OCH2O, or (c) aryloxyalkyl opt. mono- or poly-substd. by halogen, lower alkyl, alkoxy, alkenyl, alkynyl, alkenyloxy, alkynyloxy, hydroxyalkyl, alkoxyalkyl or alkoxycarbonyl, or by an ortho-linked ring-forming gp. (CH=CH)2 or

OCH2O; R2 is H, halogen, 1-4C alkyl, 1-4C alkoxy or an ortho-linked ring-forming gp. (CH=CH)2 or (CH2)n, where n= 3-5; R3 is 1-10C alkyl). 1-(2-Cyano-4-(2-(3-methylphenoxy) acetamido)phenoxy)-3 - t.-butylamino-2-propanol (Ia) and 1-(2-cyano-4-(cyclobutane carboxamido)phenoxy)-3 - t.-butylamino-2-propanol. (I) are beta-adrenergic blocking agents useful for treatment or prophylaxis of coronary heart disease (especially angina), arrhythmia (especially tachycardia), hypertension, etc. They have lower toxicity, higher activity and better cardioselectivity than acebutolol.

(1) Veröffentlichungsnummer:

0 073 016

A1

12)

EUROPÄISCHE PATENTANMELDUNG

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(84) Benannte Vertragsstaaten: AT BE CH DE FR GB IT LI LU NL SE (1) Anmelder: BOEHRINGER INGELHEIM KG ZA Patente D-6507 Ingelheim am Rhein(DE)

(72) Erfinder: Köppe, Herbert, Dr. Neuweg 72 D-6507 Ingelheim(DE)

(7) Erfinder: Kummer, Werner, Dr. Georg-Scheuing-Strasse 15 D-6507 Ingelheim(DE)

(72) Erfinder: Stähle, Helmut, Dr. Rotweinstrasse 23 D-6507 Ingelheim(DE)

(72) Erfinder: Muacevic, Gojko, Dr. In der Dörrwiese 13 D-6507 Ingelheim(DE)

(72) Erfinder: Traunecker, Werner, Dr. Birkenweg 1 D-6531 Münster-Sarmsheim(DE)

(54) Neue 1-Aryloxy-3-alkylamino-2-propanole und Verfahren zu ihrer Herstellung.

(5) Die Erfindung betrifft 1-Aryloxy-3-alkylamino-2-propanole der allgemeinen Formel I

in der

einen Cycloalkylrest mit 3 bis 10 C-Atomen, einen Phenylrest, der ggf. durch ein oder mehrere Halogenatome, niedere Alkyl-, Alkoxy-, Alkenyl-, Alkinyl-, Alkinyloxy-, Cycloalkyl-, Acyl, Acyloxy-, Alkoxycarbonyl-, Hydroxyalkyl- oder Alkoxyalkylreste oder die ringbindenden Gruppen (-CH=CH)₂, -O-CH₂-O-, mit Bindung der freien Valenzen in o-Stellung zueinander substituiert sein kann, oder einen Aryloxyalkylenrest, der ggf. durch ein oder mehrere Halogenatome, niedere Alkyl-, Alkoxy-,

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Alkenyl-, Alkinyl-, Alkenyloxy-, Alkinyloxy-, Hydroxyal-kyl-, Alkoxyalkyl-, Acyl-, Acyloxy- oder Alkoxycarbonyl-reste sowie die ringbindende Gruppe – (CH=CH)₂ – oder – OCH₂–O – mit Bindung der freien Valenzen in o-Stellung zueinander substituiert sein kann,

R₂ ein Wasserstoff- oder Halogenatom, eine Alkyl- oder Alkoxygruppe mit 1 bis 4 C-Atomen oder die ringbindenden Gruppen -{CH=CH}₂- oder -{CH₂}_n- (n = ganze Zahl von 3 bis 5) mit Bindung der freien Valenzen in o-Stellung zueinander,

R₃ einen geraden oder verzweigten Alkyliest mit 1 bis 10 C-Atomen, bedeutet,

sowie deren Säureadditionssalze.

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